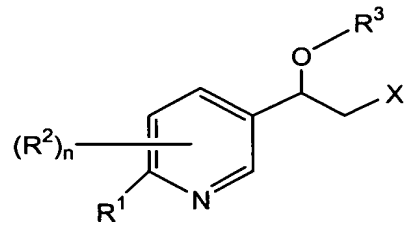
Claims

1. A process for preparing a compound of the formula



IX

wherein n is 0, 1, 2 or 3;

R^1 is hydrogen or halo;

each R^2 is independently hydrogen, halo, trifluoromethyl, cyano, SR^4, OR^4, SO_2R^4, $OCOR^5$, or $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, $N(R^4)_2$, SR^4, trifluoromethyl, OR^4, $(C_3\text{-}C_8)$cycloalkyl, $(C_6\text{-}C_{10})$aryl, NR^4COR^5, COR^5, SO_2R^5, $OCOR^5$, $NR^4SO_2R^5$ and $NR^4CO_2R^4$;

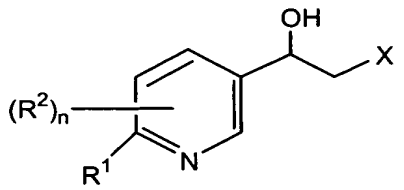
R^3 is tetrahydrofuranyl, tetrahydropyranyl or a silyl protecting group;

X is halo, methanesulfonyloxy, benzenesulfonyloxy, p-toluenesulfonyloxy, m-nitrobenzenesulfonyloxy or p-nitrobenzenexulfonyloxy;

R^4 and R^5, for each occurrence, are each independently selected from hydrogen, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy, $(C_3\text{-}C_8)$cycloalkyl,$(C_6\text{-}C_{10})$aryl, $(C_2\text{-}C_9)$heterocycloalkyl, $(C_2\text{-}C_9)$heteroaryl or $(C_1\text{-}C_6)$aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, $(C_1\text{-}C_{10})$alkyl-CO_2, $(C_1\text{-}C_{10})$alkylsulfonyl, $(C_3\text{-}C_8)$cycloalkyl, $(C_1\text{-}C_{10})$alkoxy, or $(C_1\text{-}C_6)$alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, $((C_1\text{-}C_6)\text{alkyl})_2$amino, pyrrolidine, piperidine, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy, $(C_1\text{-}C_{10})$alkylthio and $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, $(C_1\text{-}C_6)$alkyl-CO_2, $(C_1\text{-}C_6)$alkylsulfonyl, $(C_3\text{-}C_8)$cycloalkyl and $(C_1\text{-}C_6)$alkoxy;

or R^5 is $N(R^4)_2$ wherein R^4 is as defined above;

comprising reacting a compound of the formula



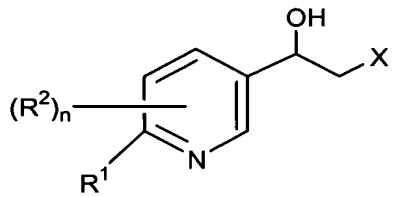
X

wherein n, R^1, R^2 and X are as defined above, with a silyating agent in the presence of a base.

2. A process according to claim 1, wherein the silyating agent is tert butyldimethylsilyl chloride, triethylchlorosilane, triisopropylchlorosilane or diphenylmethylchlorosilane.

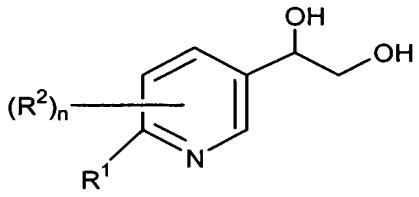
3. A process according to claim 1, wherein the base is triethylamine, N,N-diisopropylethylamine, imidazole, pyridine, 2,6-lutidine or N-methylmorpholine.

4. A process according to claim 1, wherein the compound of the formula



X

is formed by reacting a compound of the formula



XI

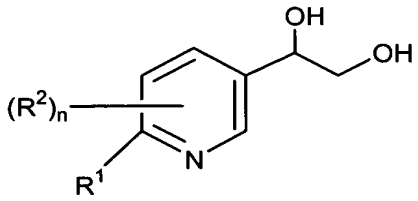
wherein n, R^1 and R^2 are as defined above, with a sulfonyl chloride in the presence of a base, and in the case wherein X is halo, by further treatment with a metal halide.

5. A process according to claim 4, wherein the sulfonyl chloride is p-toluenesulfonyl chloride, methanesulfonyl chloride, m-nitrobenzenesulfonyl chloride, p-nitrobenzenesulfonyl chloride or benezenesulfonyl chloride.

6. A process according to claim 4, wherein the base is triethylamine, diisopropylethylamine, pyridine, 2,4,6-collidine or 2,6-lutidine.

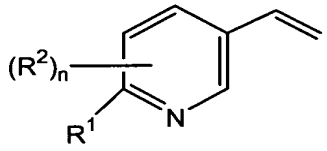
7. A process according to claim 4, wherein the metal halide is lithium chloride.

8. A process according to claim 4, wherein the compound of the formula



XI

is formed by reacting a compound of the formula



XII

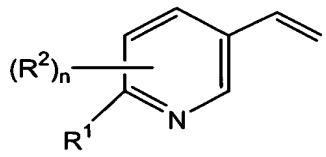
wherein n, R^1 and R^2 are as defined above, with a dihydroxylating agent, with or without a co-oxidant and/or a coordinating ligand.

9. A process according to claim 8, wherein the dihydroxylating agent is osmium tetroxide or potassium permanganate.

10. A process according to claim 8, wherein the co-oxidant is potassium ferricyanide, hydrogen peroxide, tert-butyl hydroperoxide or N-methylmorpholine-N-oxide.

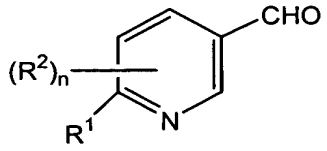
11. A process according to claim 8, wherein the coordinating ligand is hydroquinidine 1,4-phthalazinediyl diether or hydroquinine 1,4-phthalazinediyl diether.

12. A process according to claim 8, wherein the compound of the formula



XII

is formed by reacting a compound of formula V

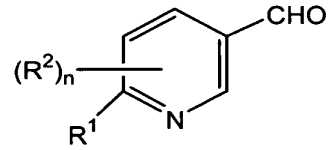


XIII

wherein n, R^1 and R^2 are as defined above, with a methylating reagent.

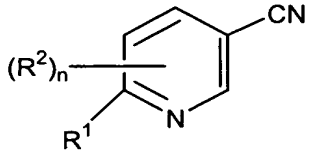
13. A process according to claim 12, wherein the methylating reagant is prepared from methyltriphenylphosphonium bromide and potassium tert-butoxide.

14. A process according to claim 12, wherein the compound of the formula



XIII

is formed by reducing a compound of the formula



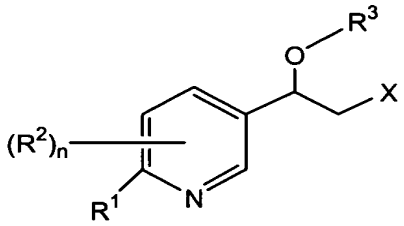
XIV

wherein n, R^1 and R^2 are as defined above, with a reducing agent followed by hydrolysis with an acid or base.

15. A process according to claim 14, wherein the reducing agent is diisobutylaluminum hydride.

16. A process according to claim 14, wherein the acid is sulfuric acid.

17. A process for preparing a compound of the formula



IX

wherein n is 0, 1, 2 or 3;

R^1 is hydrogen or halo;

each R^2 is independently hydrogen, halo, trifluoromethyl, cyano, SR^4, OR^4, SO_2R^4, $OCOR^5$, or $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, $N(R^4)_2$, SR^4, trifluoromethyl, OR^4, $(C_3\text{-}C_8)$cycloalkyl, $(C_6\text{-}C_{10})$aryl, NR^4COR^5, COR^5, SO_2R^5, $OCOR^5$, $NR^4SO_2R^5$ and $NR^4CO_2R^4$;

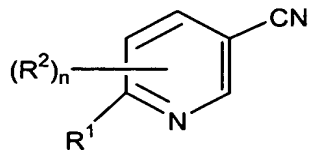
R^3 is tetrahydrofuranyl, tetrahydropyranyl or a silyl protetcting group;

X is halo, methanesulfonyloxy, benzenesulfonyloxy, p-toluenesulfonyloxy, m-nitrobenzenesulfonyloxy or p-nitrobenzenexulfonyloxy;

R^4 and R^5, for each occurrence, are each independently selected from hydrogen, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy,$(C_3\text{-}C_8)$cycloalkyl,$(C_6\text{-}C_{10})$aryl, $(C_2\text{-}C_9)$heterocycloalkyl, $(C_2\text{-}C_9)$heteroaryl or $(C_1\text{-}C_6)$aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, $(C_1\text{-}C_{10})$alkyl-CO_2, $(C_1\text{-}C_{10})$alkylsulfonyl, $(C_3\text{-}C_8)$cycloalkyl, $(C_1\text{-}C_{10})$alkoxy, or $(C_1\text{-}C_6)$alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, $((C_1\text{-}C_6)\text{alkyl})_2$amino, pyrrolidine, piperidine, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy, $(C_1\text{-}C_{10})$alkylthio and $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, $(C_1\text{-}C_6)$alkyl-CO_2, $(C_1\text{-}C_6)$alkylsulfonyl, $(C_3\text{-}C_8)$cycloalkyl and $(C_1\text{-}C_6)$alkoxy;

or R^5 is $N(R^4)_2$ wherein R^4 is as defined above;

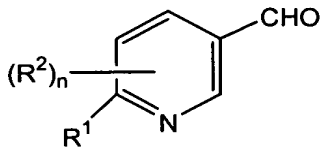
comprising (a) reacting a compound of the formula



XIV

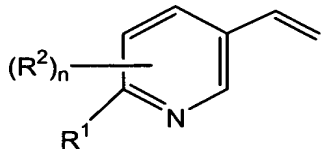
wherein n, R^1 and R^2 as defined above, with a reducing agent followed by hydrolsis with an acid or base;

(b) reacting the intermediate of formula XIII so formed



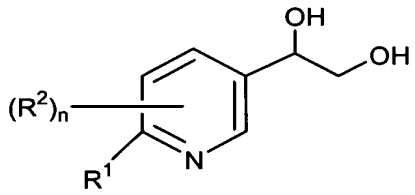
XIII

wherein n, R^1 and R^2 are as defined above, with a methylating agent to form a vinylpyridine compound of the formula



XII

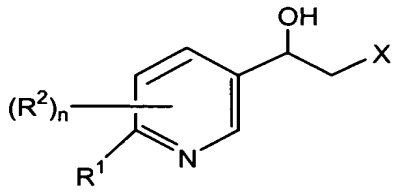
(c) reacting the vinylpyridine compound so formed in step (b) with a dihydroxylating agent, with or without a co-oxidant and/or a coordinating ligand to form the compound of the formula



XI

wherein n, R^1 and R^2 are as defined above;

(d) reacting the compound of formula XI so formed with a sulfonyl chloride in the presence of a base to form the compound of the formula X

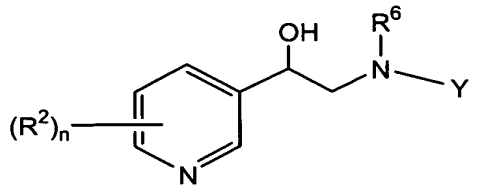


X

wherein n, R^1, R^2 and X are as defined above; and

(e) reacting the compound of formula X so formed with silyating agent in the presence of a base.

18. A process for preparing a compound of the formula



I

wherein n is 0, 1, 2 or 3;

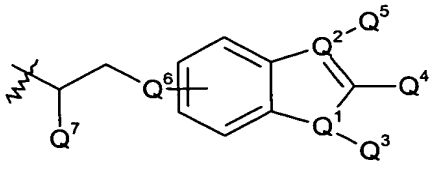
each R^2 is independently hydrogen, halo, trifluoromethyl, cyano, SR^4, OR^4, SO_2R^4, $OCOR^5$, or $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, $N(R^4)_2$, SR^4, trifluoromethyl, OR^4, $(C_3\text{-}C_8)$cycloalkyl, $(C_6\text{-}C_{10})$aryl, NR^4COR^5, COR^5, SO_2R^5, $OCOR^5$, $NR^4SO_2R^5$ and $NR^4CO_2R^4$;

R^4 and R^5, for each occurrence, are each independently selected from hydrogen, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy, $(C_3\text{-}C_8)$cycloalkyl,$(C_6\text{-}C_{10})$aryl, $(C_2\text{-}C_9)$heterocycloalkyl, $(C_2\text{-}C_9)$heteroaryl or $(C_1\text{-}C_6)$aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, $(C_1\text{-}C_{10})$alkyl-CO_2, $(C_1\text{-}C_{10})$alkylsulfonyl, $(C_3\text{-}C_8)$cycloalkyl, $(C_1\text{-}C_{10})$alkoxy, or $(C_1\text{-}C_6)$alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, $((C_1\text{-}C_6)$alkyl$)_2$amino, pyrrolidine, piperidine, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy, $(C_1\text{-}C_{10})$alkylthio and $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, $(C_1\text{-}C_6)$alkyl-CO_2, $(C_1\text{-}C_6)$alkylsulfonyl, $(C_3\text{-}C_8)$cycloalkyl and $(C_1\text{-}C_6)$alkoxy;

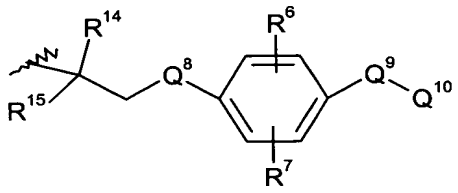
or R^5 is $N(R^4)_2$ wherein R^4 is as defined above;

R^6 is COR^7 or CO_2R^7 wherein R^7 is $(C_1\text{-}C_8)$alkyl; and

Y is



or



wherein:

Q^1 is oxygen, nitrogen or sulfur;

Q^2 is carbon or nitrogen;

Q^3 is hydrogen, -$(CH_2)_q$-phenyl, -$(C_1$-$C_{10})$alkyl, -$(CH_2)_q$-NG^1G^2, -$(CH_2)_q$-CO_2G^3, -$(CH_2)_q$-CO-NG^1G^2, -$(CH_2)_q$-OG^3, -$(CH_2)_q$-SO_3G^3, -$(CH_2)_q$-SO_2-$(C_1$-$C_6)$alkyl, -$(CH_2)_q$-$SO_2NG^1G^2$, or a heterocycle selected from the group consisting of -$(CH_2)_q$-pyridyl, -$(CH_2)_q$-pyrimidyl, -$(CH_2)_q$-pyraziqyl, -$(CH_2)_q$-isoxazolyl, -$(CH_2)_q$-oxazolyl, -$(CH_2)_q$-thiazolyl, -$(CH_2)_q$-(1,2,4-oxadiazolyl), -$(CH_2)_q$-imidazolyl, -$(CH_2)_q$-triazolyl and -$(CH_2)_q$-tetrazolyl;

wherein one of the ring nitrogen atoms of said -$(CH_2)_q$-imidazolyl, -$(CH_2)_q$-triazolyl and -$(CH_2)_q$-tetrazolyl may optionally be substituted by $(C_1$-$C_8)$alkyl optionally independently substituted with one or more halo atoms;

wherein each of said heterocycles may optionally be substituted on one or more of the ring carbon atoms by one or more substituents independently selected from the group consisting of $(C_1$-$C_8)$alkyl optionally independently substituted with one or more halo atoms, nitro, cyano, -$(CH_2)_q$-NG^1G^2, -$(CH_2)_q$-CO_2G^3, -$(CH_2)_q$-CO-NG^1G^2, -$(CH_2)_q$-OG^3, -$(CH_2)_q$-SO_3G^3, -$(CH_2)_q$-SO_2-$(C_1$-$C_6)$alkyl and -$(CH_2)_q$-$SO_2NG^1G^2$;

wherein the phenyl moiety of said -$(CH_2)_q$-phenyl may optionally be substituted with one or more substituents independently selected from the group consisting of $(C_1$-$C_6)$alkyl optionally independently substituted with one or more halo atoms, hydroxy, $(C_1$-$C_6)$alkoxy optionally independently substituted with one or more halo atoms, $(C_1$-$C_6)$alkylthio, fluoro, chloro, bromo, iodo, cyano, nitro, -$(CH_2)_q$-NG^1G^2, -$(CH_2)_q$-CO_2G^3, -$(CH_2)_q$-CO-NG^1G^2, -$(CH_2)_q$-OG^3, -$(CH_2)_q$-SO_3G^3, -$(CH_2)_q$-SO_2-$(C_1$-$C_6)$alkyl, -$(CH_2)_q$-$SO_2NG^1G^2$; -$(CH_2)_q$-NG^3-SO_2-G^3 and -$(CH_2)_q$-NG^3-SO_2-NG^1G^2;

Q^4 is $-(CH_2)_q$-CN, $-(CH_2)_qCO_2G^3$, $-(CH_2)_q$-SO_3G^3, $-(CH_2)_q$-SO_2-$(C_1$-$C_6)$alkyl, $-(CH_2)_q$-$SO_2NG^1G^2$, $-(CH_2)_qCH_2OH$, $-(CH_2)_q$-CHO, $-(CH_2)_q$-CO-G^3, $-(CH_2)_q$-$CONG^1G^2$, or a heterocycle selected from $-(CH_2)_q$-thiazolyl, $-(CH_2)_q$-oxazolyl, $-(CH_2)_q$-imidazolyl, $-(CH_2)_q$-triazolyl, $-(CH_2)_q$-1,2,4-oxadiazolyl, $-(CH_2)_q$-isoxazolyl, $-(CH_2)_q$-tetrazolyl and $-(CH_2)_q$-pyrazolyl;

wherein one of the ring nitrogen atoms of said $-(CH_2)_q$-imidazolyl, $-(CH_2)_q$-triazolyl and $-(CH_2)_q$-tetrazolyl may optionally be substituted by $(C_1$-$C_6)$alkyl optionally independently substituted with one or more halo atoms;

wherein each of said heterocycles may optionally be substituted on one or more of the ring carbon atoms by one or more substituents independently selected from the group consisting of hydrogen, $(C_1$-$C_6)$alkyl optionally independently substituted with one or more halo atoms, $-(CH_2)_q$-CO-NG^1G^2, $-(CH_2)_q$-CO_2G^3, halo, nitro, cyano, $-(CH_2)_q$-CO-NG^1G^2, $-(CH_2)_q$-OG^3, $-(CH_2)_q$-SO_3G^3, $-(CH_2)_q$-SO_2-$(C_1$-$C_6)$alkyl, or $-(CH_2)_q$-$SO_2NG^1G^2$;

Q^5 is hydrogen or $(C_1$-$C_6)$alkyl optionally independently substituted with one or more halo atoms;

Q^6 is a covalent bond, oxygen or sulfur;

Q^7 is hydrogen or $(C_1$-$C_6)$alkyl optionally independently substituted with one or more halo atoms;

Q^8 and Q^9 are independently a covalent bond, oxygen, sulfur, NH or N-$(C_1$-$C_6)$alkyl;

Q^{10} is nitro, amino, $(C_2$-$C_9)$heteroaryl, $(C_2$-$C_9)$heterocycloalkyl, $(CH_2)_pOR^{11}$, $(CH_2)_qCO_2H$, $(CH_2)_qCOR^{13}$, $(CH_2)_qSO_2NR^{11}R^{12}$, $(CH_2)_q$-$NR^{11}SO_2R^{10}$, $(CH_2)_qP(O)(OR^8)(OR^9)$, $(CH_2)_q$-O-$(CH_2)_pCO_2H$, $(CH_2)_q$-O-$(CH_2)_pCOR^{13}$, $(CH_2)_q$-O-$(CH_2)_pP(O)(OR^8)(OR^9)$, $(CH_2)_q$-O-$(CH_2)_pSO_2NR^{11}R^{12}$, or $(CH_2)_q$-O-$(CH_2)_p$-$NR^{11}SO_2R^{10}$;

R^8 and R^9 are each independently hydrogen or $(C_1$-$C_6)$alkyl; and

wherein G^1 and G^2 for each occurrence are each independently hydrogen, $(C_1$-$C_6)$alkyl optionally independently substituted with one or more halo, $(C_1$-$C_8)$alkoxy$(C_1$-$C_6)$alkyl or $(C_3$-$C_8)$cycloalkyl, or G^1 and G^2 together with the nitrogen to which they are attached form a saturated heterocyclic ring having from 3 to 7 carbon atoms wherein one of said carbon atoms may optionally be replaced by oxygen, nitrogen or sulfur;

G^3 for each occurrence is independently hydrogen or $(C_1$-$C_6)$alkyl;

R^{10} for each occurrence is independently $(C_1\text{-}C_6)$alkyl or $(C_1\text{-}C_6)$alkoxy$(C_1\text{-}C_6)$alkyl;

R^{11} and R^{12} are taken separately and, for each occurrence, are independently hydrogen, $(C_1\text{-}C_6)$alkyl, $(C_3\text{-}C_8)$cycloalkyl, or $(C_1\text{-}C_6)$alkoxy$(C_1\text{-}C_6)$alkyl, or

R^{11} and R^{12} are taken together with the nitrogen atom to which they are attached and form a pyrrolidine, piperidine or morpholine ring wherein said pyrrolidine, piperidine or morpholine may optionally be substituted at any carbon atom by $(C_1\text{-}C_4)$alkyl or $(C_1\text{-}C_4)$alkoxy;

R^{13} for each occurrence is independently hydrogen, $(C_1\text{-}C_6)$alkyl, $(C_1\text{-}C_6)$alkoxy, $NR^{11}R^{12}$, $(C_3\text{-}C_8)$cycloalkyl, or $(C_1\text{-}C_6)$alkoxy$(C_1\text{-}C_6)$alkyl wherein R^{11} and R^{12} are as defined above;

R^{14} and R^{15} are each independently hydrogen, halo, $(C_1\text{-}C_6)$alkyl, nitro, cyano, trifluoromethyl, SO_2R^{10}, $SO_2NR^{11}R^{12}$, $NR^{11}R^{12}$, COR^{13}, CO_2R^{11}, $(C_1\text{-}C_6)$alkoxy, $NR^{11}SO_2R^{10}$, $NR^{11}COR^{13}$, $NR^{11}CO_2R^{11}$ or OR^{11};

p for each occurrence is independently an integer of 1 to 6; and

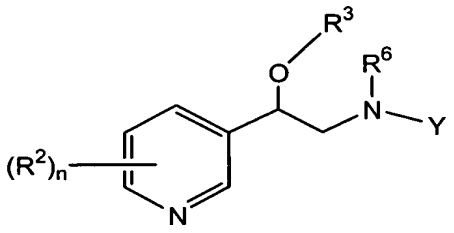
q for each occurrence is independently 0 or an integer of 1 to 6;

with the proviso that when Q^9 is O or S then n is not 0;

with the proviso that when Q^1 is oxygen or sulfur then Q^3 is absent; and

with the proviso that when Q^2 is nitrogen then Q^5 is absent;

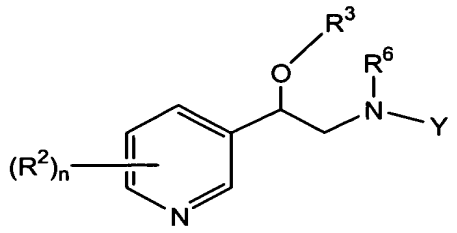
comprising reacting a compound of the formula



II

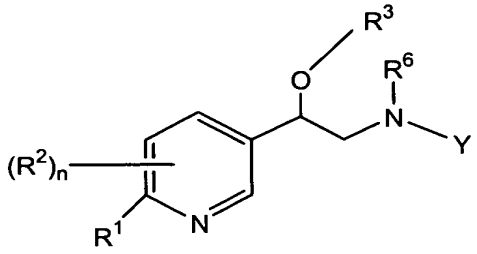
wherein n, R^2, R^6 and Y are as defined above; and R^3 is tetrahydrofuranyl, tetrahydropyranyl or a silyl protecting group; with tetra-n-butylammonium fluoride.

19. A process according to claim 18, wherein the compound of the formula



II

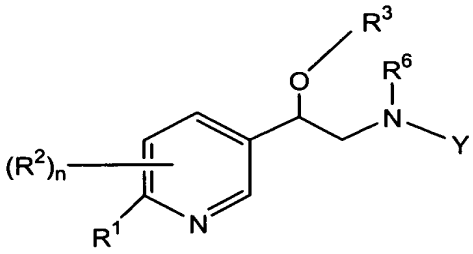
wherein n, R^2, R^3, R^6 and Y are as defined above, is formed by treating a compound of the formula



III

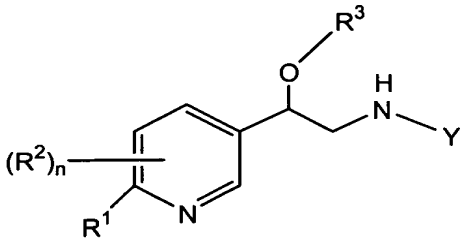
wherein R^1 is halo and wherein n, R^2, R^3, R^6 and Y are as defined above, with ammonium formate in the presence of palladium on carbon.

20. A process according to claim 19, wherein the compound of the formula



III

is formed by reacting the compound

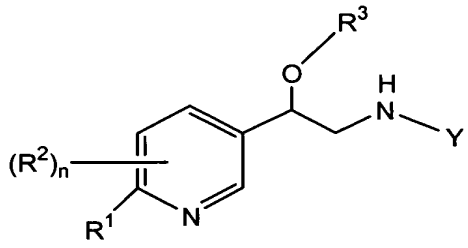


IV

wherein R^1 is hydrogen or halo and wherein n, R^2, R^3 and Y are as defined above with an organic acid anhydride, a dicarbonate or an organic acid chloride.

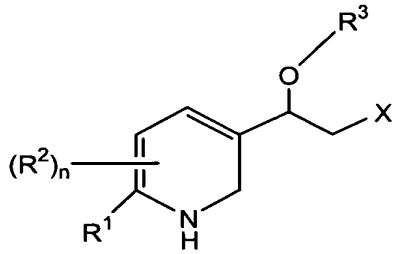
21. A process according to claim 20, wherein the dicarbonate is di-tert-butyl dicarbonate

22. A process according to claim 20, wherein the compound



IV

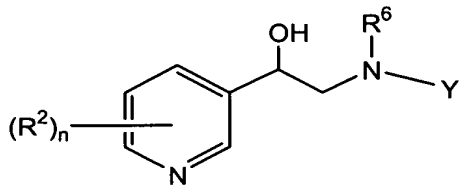
is formed by reacting the compound



V

wherein n, R^1, R^2, R^3 and X are as defined above, with an amine of the formula H_2NY, wherein Y is as defined above, in the presence of N,N-diisopropylethylamine.

23. A process for preparing a compound of the formula



I

wherein n is 0, 1, 2 or 3;

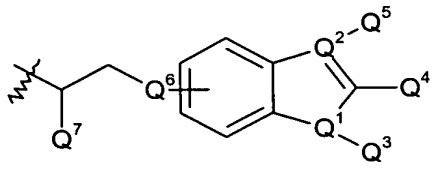
each R^2 is independently hydrogen, halo, trifluoromethyl, cyano, SR^4, OR^4, SO_2R^4, $OCOR^5$, or $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, $N(R^4)_2$, SR^4, trifluoromethyl, OR^4, $(C_3\text{-}C_8)$cycloalkyl, $(C_6\text{-}C_{10})$aryl, NR^4COR^5, COR^5, SO_2R^5, $OCOR^5$, $NR^4SO_2R^5$ and $NR^4CO_2R^4$;

R^4 and R^5, for each occurrence, are each independently selected from hydrogen, $(C_1$-$C_{10})$alkyl, $(C_1$-$C_{10})$alkoxy, $(C_3$-$C_8)$cycloalkyl,$(C_6$-$C_{10})$aryl, $(C_2$-$C_9)$heterocycloalkyl, $(C_2$-$C_9)$heteroaryl or $(C_1$-$C_6)$aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, $(C_1$-$C_{10})$alkyl-CO_2, $(C_1$-$C_{10})$alkylsulfonyl, $(C_3$-$C_8)$cycloalkyl, $(C_1$-$C_{10})$alkoxy, or $(C_1$-$C_6)$alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, $((C_1$-$C_6)$alkyl$)_2$amino, pyrrolidine, piperidine, $(C_1$-$C_{10})$alkyl, $(C_1$-$C_{10})$alkoxy, $(C_1$-$C_{10})$alkylthio and $(C_1$-$C_{10})$alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, $(C_1$-$C_6)$alkyl-CO_2, $(C_1$-$C_6)$alkylsulfonyl, $(C_3$-$C_8)$cycloalkyl and $(C_1$-$C_6)$alkoxy;

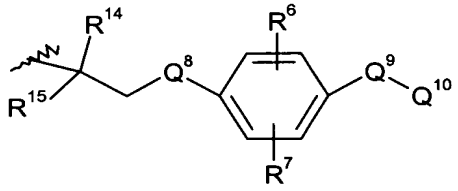
or R^5 is $N(R^4)_2$ wherein R^4 is as defined above;

R^6 is COR^7 or CO_2R^7 wherein R^7 is $(C_1$-$C_8)$alkyl; and

Y is



or



wherein:

Q^1 is oxygen, nitrogen or sulfur;

Q^2 is carbon or nitrogen;

Q^3 is hydrogen, $-(CH_2)_q$-phenyl, $-(C_1$-$C_{10})$alkyl, $-(CH_2)_q$-NG^1G^2, $-(CH_2)_q$-CO_2G^3, $-(CH_2)_q$-CO-NG^1G^2, $-(CH_2)_q$-OG^3, $-(CH_2)_q$-SO_3G^3, $-(CH_2)_q$-SO_2-$(C_1$-$C_6)$alkyl, $-(CH_2)_q$-$SO_2NG^1G^2$, or a heterocycle selected from the group consisting of $-(CH_2)_q$-pyridyl, $-(CH_2)_q$-pyrimidyl, $-(CH_2)_q$-pyraziqyl, $-(CH_2)_q$-isoxazolyl, $-(CH_2)_q$-oxazolyl, $-(CH_2)_q$-thiazolyl, $-(CH_2)_q$-(1,2,4-oxadiazolyl), $-(CH_2)_q$-imidazolyl, $-(CH_2)_q$-triazolyl and $-(CH_2)_q$-tetrazolyl;

wherein one of the ring nitrogen atoms of said -$(CH_2)_q$-imidazolyl, -$(CH_2)_q$-triazolyl and -$(CH_2)_q$-tetrazolyl may optionally be substituted by (C_1-C_8)alkyl optionally independently substituted with one or more halo atoms;

wherein each of said heterocycles may optionally be substituted on one or more of the ring carbon atoms by one or more substituents independently selected from the group consisting of (C_1-C_8)alkyl optionally independently substituted with one or more halo atoms, halo, nitro, cyano, -$(CH_2)_q$-NG^1G^2, -$(CH_2)_q$-CO_2G^3, -$(CH_2)_q$-CO-NG^1G^2, -$(CH_2)_q$-OG^3, -$(CH_2)_q$-SO_3G^3, -$(CH_2)_q$-SO_2-(C_1-C_6)alkyl and -$(CH_2)_q$-$SO_2NG^1G^2$;

wherein the phenyl moiety of said -$(CH_2)_q$-phenyl may optionally be substituted with one or more substituents independently selected from the group consisting of (C_1-C_6)alkyl optionally independently substituted with one or more halo atoms, hydroxy, (C_1-C_6)alkoxy optionally independently substituted with one or more halo atoms, (C_1-C_6)alkylthio, fluoro, chloro, bromo, iodo, cyano, nitro, -$(CH_2)_q$-NG^1G^2, -$(CH_2)_q$-CO_2G^3, -$(CH_2)_q$-CO-NG^1G^2, -$(CH_2)_q$-OG^3, -$(CH_2)_q$-SO_3G^3, -$(CH_2)_q$-SO_2-(C_1-C_6)alkyl, -$(CH_2)_q$-$SO_2NG^1G^2$; -$(CH_2)_q$-NG^3-SO_2-G^3 and -$(CH_2)_q$-NG^3-SO_2-NG^1G^2;

Q^4 is -$(CH_2)_q$-CN, -$(CH_2)_q$$CO_2G^3$, -$(CH_2)_q$-$SO_3G^3$, -$(CH_2)_q$-$SO_2$-($C_1$-$C_6$)alkyl, -$(CH_2)_q$-$SO_2NG^1G^2$, -$(CH_2)_q$$CH_2OH$, -$(CH_2)_q$-CHO, -$(CH_2)_q$-CO-$G^3$, -$(CH_2)_q$-$CONG^1G^2$, or a heterocycle selected from -$(CH_2)_q$-thiazolyl, -$(CH_2)_q$-oxazolyl, -$(CH_2)_q$-imidazolyl, -$(CH_2)_q$-triazolyl, -$(CH_2)_q$-1,2,4-oxadiazolyl, -$(CH_2)_q$-isoxazolyl, -$(CH_2)_q$-tetrazolyl and -$(CH_2)_q$-pyrazolyl;

wherein one of the ring nitrogen atoms of said -$(CH_2)_q$-imidazolyl, -$(CH_2)_q$-triazolyl and -$(CH_2)_q$-tetrazolyl may optionally be substituted by (C_1-C_6)alkyl optionally independently substituted with one or more halo atoms;

wherein each of said heterocycles may optionally be substituted on one or more of the ring carbon atoms by one or more substituents independently selected from the group consisting of hydrogen, (C_1-C_6)alkyl optionally independently substituted with one or more halo atoms, -$(CH_2)_q$-CO-NG^1G^2, -$(CH_2)_q$-CO_2G^3, halo, nitro, cyano, -$(CH_2)_q$-CO-NG^1G^2, -$(CH_2)_q$-OG^3, -$(CH_2)_q$-SO_3G^3, -$(CH_2)_q$-SO_2-(C_1-C_6)alkyl, or -$(CH_2)_q$-$SO_2NG^1G^2$;

Q^5 is hydrogen or (C_1-C_6)alkyl optionally independently substituted with one or more halo atoms;

Q^6 is a covalent bond, oxygen or sulfur;

Q^7 is hydrogen or $(C_1$-$C_6)$alkyl optionally independently substituted with one or more halo atoms;

Q^8 and Q^9 are independently a covalent bond, oxygen, sulfur, NH or N-$(C_1$-$C_6)$alkyl;

Q^{10} is nitro, amino, $(C_2$-$C_9)$heteroaryl, $(C_2$-$C_9)$heterocycloalkyl, $(CH_2)_pOR^{11}$, $(CH_2)_qCO_2H$, $(CH_2)_qCOR^{13}$, $(CH_2)_qSO_2NR^{11}R^{12}$, $(CH_2)_q$-$NR^{11}SO_2R^{10}$, $(CH_2)_qP(O)(OR^8)(OR^9)$, $(CH_2)_q$-O-$(CH_2)_pCO_2H$, $(CH_2)_q$-O-$(CH_2)_pCOR^{13}$, $(CH_2)_q$-O-$(CH_2)_pP(O)(OR^8)(OR^9)$, $(CH_2)_q$-O-$(CH_2)_pSO_2NR^{11}R^{12}$, or $(CH_2)_q$-O-$(CH_2)_p$-$NR^{11}SO_2R^{10}$;

R^8 and R^9 are each independently hydrogen or $(C_1$-$C_6)$alkyl; and

wherein G^1 and G^2 for each occurrence are each independently hydrogen, $(C_1$-$C_6)$alkyl optionally independently substituted with one or more halo, $(C_1$-$C_8)$alkoxy$(C_1$-$C_6)$alkyl or $(C_3$-$C_8)$cycloalkyl, or G^1 and G^2 together with the nitrogen to which they are attached form a saturated heterocyclic ring having from 3 to 7 carbon atoms wherein one of said carbon atoms may optionally be replaced by oxygen, nitrogen or sulfur;

G^3 for each occurrence is independently hydrogen or $(C_1$-$C_6)$alkyl;

R^{10} for each occurrence is independently $(C_1$-$C_6)$alkyl or $(C_1$-$C_6)$alkoxy$(C_1$-$C_6)$alkyl;

R^{11} and R^{12} are taken separately and, for each occurrence, are independently hydrogen, $(C_1$-$C_6)$alkyl, $(C_3$-$C_8)$cycloalkyl, or $(C_1$-$C_6)$alkoxy$(C_1$-$C_6)$alkyl, or

R^{11} and R^{12} are taken together with the nitrogen atom to which they are attached and form a pyrrolidine, piperidine or morpholine ring wherein said pyrrolidine, piperidine or morpholine may optionally be substituted at any carbon atom by $(C_1$-$C_4)$alkyl or $(C_1$-$C_4)$alkoxy;

R^{13} for each occurrence is independently hydrogen, $(C_1$-$C_6)$alkyl, $(C_1$-$C_6)$alkoxy, $NR^{11}R^{12}$, $(C_3$-$C_8)$cycloalkyl, or $(C_1$-$C_6)$alkoxy$(C_1$-$C_6)$alkyl wherein R^{11} and R^{12} are as defined above;

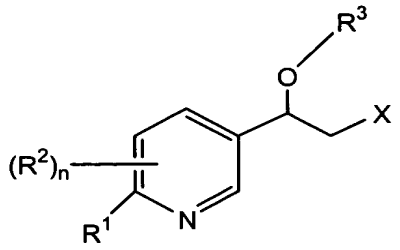
R^{14} and R^{15} are each independently hydrogen, halo, $(C_1$-$C_6)$alkyl, nitro, cyano, trifluoromethyl, SO_2R^{10}, $SO_2NR^{11}R^{12}$, $NR^{11}R^{12}$, COR^{13}, CO_2R^{11}, $(C_1$-$C_6)$alkoxy, $NR^{11}SO_2R^{10}$, $NR^{11}COR^{13}$, $NR^{11}CO_2R^{11}$ or OR^{11};

p for each occurrence is independently an integer of 1 to 6; and

q for each occurrence is independently 0 or an integer of 1 to 6;

with the proviso that when Q^9 is O or S then n is not 0;

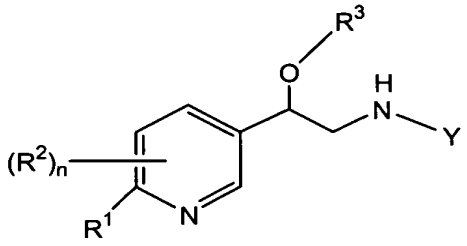
with the proviso that when Q^1 is oxygen or sulfur then Q^3 is absent; and
with the proviso that when Q^2 is nitrogen then Q^5 is absent;
comprising (a) reacting a compound of the formula



V

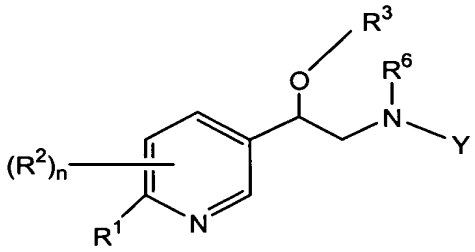
wherein R^1 is hydrogen or halo, and n, R^1, R^2, R^3 and X are as defined above, with an amine of the formula H_2NY, wherein Y is as defined above in the presence of N,N-diisopropylethylamine;

(b) reacting the compound of formula IV so formed



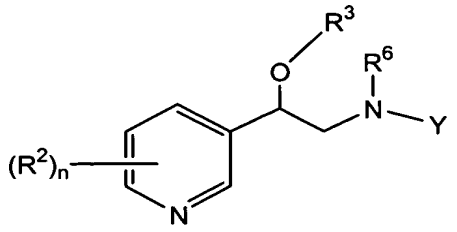
IV

wherein R^1 is hydrogen or halo and wherein n, R^2, R^3 and Y are as defined above with an organic acid anhydride, a dicarbonate or an organic acid chloride, to form a compound of the formula



III

(c) treating the compound of formula III, wherein R^1 is halo, so formed in step (b) with ammonium formate in the presence of palladium-on-carbon to form the compound of the formula

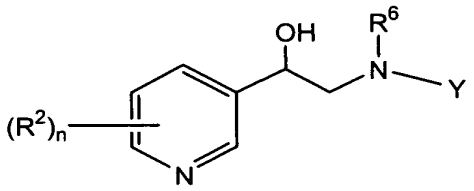


II

wherein n, R^2, R^3, R^6 and Y are as defined above, and

(d) treating the compound of formula II so formed with tetra-n-butylammonium fluoride.

24. A process for preparing a compound of the formula



I

wherein n is 0, 1, 2 or 3;

each R^2 is independently hydrogen, halo, trifluoromethyl, cyano, SR^4, OR^4, SO_2R^4, $OCOR^5$, or $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, $N(R^4)_2$, SR^4, trifluoromethyl, OR^4, $(C_3\text{-}C_8)$cycloalkyl, $(C_6\text{-}C_{10})$aryl, NR^4COR^5, COR^5, SO_2R^5, $OCOR^5$, $NR^4SO_2R^5$ and $NR^4CO_2R^4$;

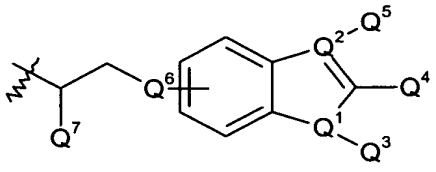
R^4 and R^5, for each occurrence, are each independently selected from hydrogen, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy, $(C_3\text{-}C_8)$cycloalkyl,$(C_6\text{-}C_{10})$aryl, $(C_2\text{-}C_9)$heterocycloalkyl, $(C_2\text{-}C_9)$heteroaryl or $(C_1\text{-}C_6)$aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, $(C_1\text{-}C_{10})$alkyl-CO_2, $(C_1\text{-}C_{10})$alkylsulfonyl, $(C_3\text{-}C_8)$cycloalkyl, $(C_1\text{-}C_{10})$alkoxy, or $(C_1\text{-}C_6)$alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, $((C_1\text{-}C_6)\text{alkyl})_2$amino, pyrrolidine, piperidine, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy, $(C_1\text{-}C_{10})$alkylthio and $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy,

halo, carboxy, $(C_1\text{-}C_6)$alkyl-CO_2, $(C_1\text{-}C_6)$alkylsulfonyl, $(C_3\text{-}C_8)$cycloalkyl and $(C_1\text{-}C_6)$alkoxy;

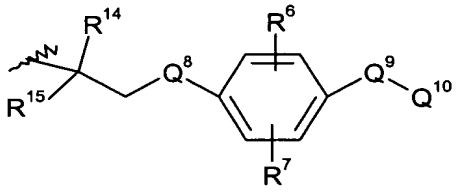
or R^5 is $N(R^4)_2$ wherein R^4 is as defined above;

R^6 is COR^7 or CO_2R^7 wherein R^7 is $(C_1\text{-}C_8)$alkyl; and

Y is



or



wherein:

Q^1 is oxygen, nitrogen or sulfur;

Q^2 is carbon or nitrogen;

Q^3 is hydrogen, $-(CH_2)_q$-phenyl, $-(C_1\text{-}C_{10})$alkyl, $-(CH_2)_q\text{-}NG^1G^2$, $-(CH_2)_q\text{-}CO_2G^3$, $-(CH_2)_q\text{-}CO\text{-}NG^1G^2$, $-(CH_2)_q\text{-}OG^3$, $-(CH_2)_q\text{-}SO_3G^3$, $-(CH_2)_q\text{-}SO_2\text{-}(C_1\text{-}C_6)$alkyl, $-(CH_2)_q\text{-}SO_2NG^1G^2$, or a heterocycle selected from the group consisting of $-(CH_2)_q$-pyridyl, $-(CH_2)_q$-pyrimidyl, $-(CH_2)_q$-pyraziqyl, $-(CH_2)_q$-isoxazolyl, $-(CH_2)_q$-oxazolyl, $-(CH_2)_q$-thiazolyl, $-(CH_2)_q$-(1,2,4-oxadiazolyl), $-(CH_2)_q$-imidazolyl, $-(CH_2)_q$-triazolyl and $-(CH_2)_q$-tetrazolyl;

wherein one of the ring nitrogen atoms of said $-(CH_2)_q$-imidazolyl, $-(CH_2)_q$-triazolyl and $-(CH_2)_q$-tetrazolyl may optionally be substituted by $(C_1\text{-}C_8)$alkyl optionally independently substituted with one or more halo atoms;

wherein each of said heterocycles may optionally be substituted on one or more of the ring carbon atoms by one or more substituents independently selected from the group consisting of $(C_1\text{-}C_8)$alkyl optionally independently substituted with one or more halo atoms, nitro, cyano, $-(CH_2)_q\text{-}NG^1G^2$, $-(CH_2)_q\text{-}CO_2G^3$, $-(CH_2)_q\text{-}CO\text{-}NG^1G^2$, $-(CH_2)_q\text{-}OG^3$, $-(CH_2)_q\text{-}SO_3G^3$, $-(CH_2)_q\text{-}SO_2\text{-}(C_1\text{-}C_6)$alkyl and $-(CH_2)_q\text{-}SO_2NG^1G^2$;

wherein the phenyl moiety of said -$(CH_2)_q$-phenyl may optionally be substituted with one or more substituents independently selected from the group consisting of (C_1-C_6)alkyl optionally independently substituted with one or more halo atoms, hydroxy, (C_1-C_6)alkoxy optionally independently substituted with one or more halo atoms, (C_1-C_6)alkylthio, fluoro, chloro, bromo, iodo, cyano, nitro, -$(CH_2)_q$-NG^1G^2, -$(CH_2)_q$-CO_2G^3, -$(CH_2)_q$-CO-NG^1G^2, -$(CH_2)_q$-OG^3, -$(CH_2)_q$-SO_3G^3, -$(CH_2)_q$-SO_2-(C_1-C_6)alkyl, -$(CH_2)_q$-$SO_2NG^1G^2$; -$(CH_2)_q$-NG^3-SO_2-G^3 and -$(CH_2)_q$-NG^3-SO_2-NG^1G^2;

Q^4 is -$(CH_2)_q$-CN, -$(CH_2)_qCO_2G^3$, -$(CH_2)_q$-SO_3G^3, -$(CH_2)_q$-SO_2-(C_1-C_6)alkyl, -$(CH_2)_q$-$SO_2NG^1G^2$, -$(CH_2)_qCH_2OH$, -$(CH_2)_q$-CHO, -$(CH_2)_q$-CO-G^3, -$(CH_2)_q$-$CONG^1G^2$, or a heterocycle selected from -$(CH_2)_q$-thiazolyl, -$(CH_2)_q$-oxazolyl, -$(CH_2)_q$-imidazolyl, -$(CH_2)_q$-triazolyl, -$(CH_2)_q$-1,2,4-oxadiazolyl, -$(CH_2)_q$-isoxazolyl, -$(CH_2)_q$-tetrazolyl and -$(CH_2)_q$-pyrazolyl;

wherein one of the ring nitrogen atoms of said -$(CH_2)_q$-imidazolyl, -$(CH_2)_q$-triazolyl and -$(CH_2)_q$-tetrazolyl may optionally be substituted by (C_1-C_6)alkyl optionally independently substituted with one or more halo atoms;

wherein each of said heterocycles may optionally be substituted on one or more of the ring carbon atoms by one or more substituents independently selected from the group consisting of hydrogen, (C_1-C_6)alkyl optionally independently substituted with one or more halo atoms, -$(CH_2)_q$-CO-NG^1G^2, -$(CH_2)_q$-CO_2G^3, halo, nitro, cyano, -$(CH_2)_q$-CO-NG^1G^2, -$(CH_2)_q$-OG^3, -$(CH_2)_q$-SO_3G^3, -$(CH_2)_q$-SO_2-(C_1-C_6)alkyl, or -$(CH_2)_q$-$SO_2NG^1G^2$;

Q^5 is hydrogen or (C_1-C_6)alkyl optionally independently substituted with one or more halo atoms;

Q^6 is a covalent bond, oxygen or sulfur;

Q^7 is hydrogen or (C_1-C_6)alkyl optionally independently substituted with one or more halo atoms;

Q^8 and Q^9 are independently a covalent bond, oxygen, sulfur, NH or N-(C_1-C_6)alkyl;

Q^{10} is nitro, amino, (C_2-C_9)heteroaryl, (C_2-C_9)heterocycloalkyl. $(CH_2)_pOR^{11}$, $(CH_2)_qCO_2H$, $(CH_2)_qCOR^{13}$, $(CH_2)_qSO_2NR^{11}R^{12}$, $(CH_2)_q$-$NR^{11}SO_2R^{10}$, $(CH_2)_qP(O)(OR^8)(OR^9)$, $(CH_2)_q$-O-$(CH_2)_pCO_2H$, $(CH_2)_q$-O-$(CH_2)_pCOR^{13}$, $(CH_2)_q$-O-$(CH_2)_pP(O)(OR^8)(OR^9)$, $(CH_2)_q$-O-$(CH_2)_pSO_2NR^{11}R^{12}$, or $(CH_2)_q$-O-$(CH_2)_p$-$NR^{11}SO_2R^{10}$;

R^8 and R^9 are each independently hydrogen or (C_1-C_6)alkyl; and

wherein G^1 and G^2 for each occurrence are each independently hydrogen, $(C_1$-$C_6)$alkyl optionally independently substituted with one or more halo, $(C_1$-$C_8)$alkoxy$(C_1$-$C_6)$alkyl or $(C_3$-$C_8)$cycloalkyl, or G^1 and G^2 together with the nitrogen to which they are attached form a saturated heterocyclic ring having from 3 to 7 carbon atoms wherein one of said carbon atoms may optionally be replaced by oxygen, nitrogen or sulfur;

G^3 for each occurrence is independently hydrogen or $(C_1$-$C_6)$alkyl;

R^{10} for each occurrence is independently $(C_1$-$C_6)$alkyl or $(C_1$-$C_6)$alkoxy$(C_1$-$C_6)$alkyl;

R^{11} and R^{12} are taken separately and, for each occurrence, are independently hydrogen, $(C_1$-$C_6)$alkyl, $(C_3$-$C_8)$cycloalkyl, or $(C_1$-$C_6)$alkoxy$(C_1$-$C_6)$alkyl, or

R^{11} and R^{12} are taken together with the nitrogen atom to which they are attached and form a pyrrolidine, piperidine or morpholine ring wherein said pyrrolidine, piperidine or morpholine may optionally be substituted at any carbon atom by $(C_1$-$C_4)$alkyl or $(C_1$-$C_4)$alkoxy;

R^{13} for each occurrence is independently hydrogen, $(C_1$-$C_6)$alkyl, $(C_1$-$C_6)$alkoxy, $NR^{11}R^{12}$, $(C_3$-$C_8)$cycloalkyl, or $(C_1$-$C_6)$alkoxy$(C_1$-$C_6)$alkyl wherein R^{11} and R^{12} are as defined above;

R^{14} and R^{15} are each independently hydrogen, halo, $(C_1$-$C_6)$alkyl, nitro, cyano, trifluoromethyl, SO_2R^{10}, $SO_2NR^{11}R^{12}$, $NR^{11}R^{12}$, COR^{13}, CO_2R^{11}, $(C_1$-$C_6)$alkoxy, $NR^{11}SO_2R^{10}$, $NR^{11}COR^{13}$, $NR^{11}CO_2R^{11}$ or OR^{11};

p for each occurrence is independently an integer of 1 to 6; and

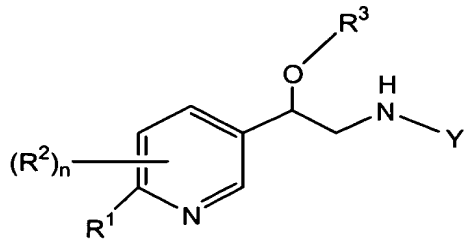
q for each occurrence is independently 0 or an integer of 1 to 6;

with the proviso that when Q^9 is O or S then n is not 0;

with the proviso that when Q^1 is oxygen or sulfur then Q^3 is absent; and

with the proviso that when Q^2 is nitrogen then Q^5 is absent;

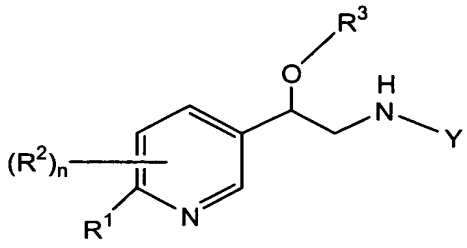
comprising reacting a compound of the formula



IV

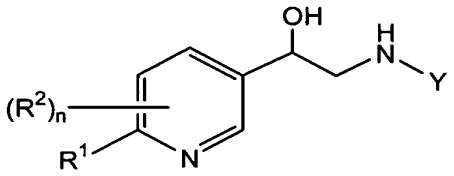
wherein R^1 is halo and wherein n, R^2, R^3 and Y are as defined above, with ammonium formate in the presence of palladium-on-carbon.

25. A process according to claim 24, wherein the compound of the formula



IV

is formed by reacting a compound of the formula

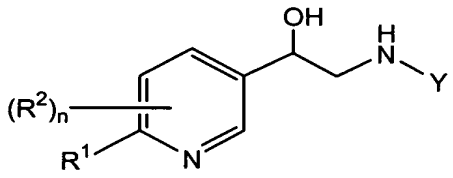


VII

wherein R^1 is hydrogen or halo, and wherein n, R^2 and Y are as defined above, with an organic acid anhydride, a dicarbonate or an organic acid chloride.

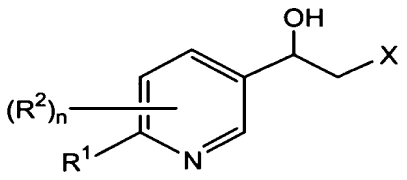
26. A process according to claim 25, wherein the dicarbonate is di-tert-butyl dicarbonate

27. A process according to claim 25, wherein the compound of the formula



VII

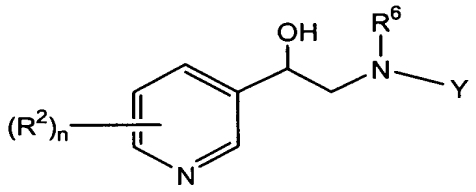
is formed by reacting the compound



VIII

wherein n, R^1, R^2 and X are as defined above, with an amine of the formula H_2NY, wherein Y is as defined above, in the presence of N,N-diisopropylethylamine.

28. A process for preparing a compound of the formula



I

wherein n is 0, 1, 2 or 3;

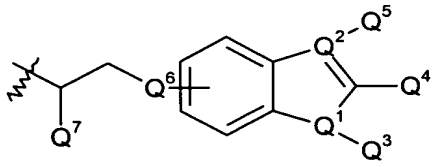
each R^2 is independently hydrogen, halo, trifluoromethyl, cyano, SR^4, OR^4, SO_2R^4, $OCOR^5$, or $(C_1$-$C_{10})$alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, $N(R^4)_2$, SR^4, trifluoromethyl, OR^4, $(C_3$-$C_8)$cycloalkyl, $(C_6$-$C_{10})$aryl, NR^4COR^5, COR^5, SO_2R^5, $OCOR^5$, $NR^4SO_2R^5$ and $NR^4CO_2R^4$;

R^4 and R^5, for each occurrence, are each independently selected from hydrogen, $(C_1$-$C_{10})$alkyl, $(C_1$-$C_{10})$alkoxy, $(C_3$-$C_8)$cycloalkyl,$(C_6$-$C_{10})$aryl, $(C_2$-$C_9)$heterocycloalkyl, $(C_2$-$C_9)$heteroaryl or $(C_1$-$C_6)$aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, $(C_1$-$C_{10})$alkyl-CO_2, $(C_1$-$C_{10})$alkylsulfonyl, $(C_3$-$C_8)$cycloalkyl, $(C_1$-$C_{10})$alkoxy, or $(C_1$-$C_6)$alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, $((C_1$-$C_6)$alkyl$)_2$amino, pyrrolidine, piperidine, $(C_1$-$C_{10})$alkyl, $(C_1$-$C_{10})$alkoxy, $(C_1$-$C_{10})$alkylthio and $(C_1$-$C_{10})$alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, $(C_1$-$C_6)$alkyl-CO_2, $(C_1$-$C_6)$alkylsulfonyl, $(C_3$-$C_8)$cycloalkyl and $(C_1$-$C_6)$alkoxy;

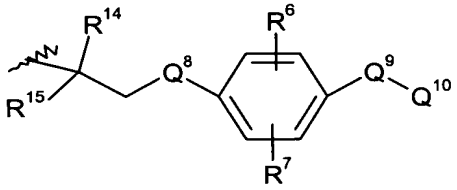
or R^5 is $N(R^4)_2$ wherein R^4 is as defined above;

R^6 is COR^7 or CO_2R^7 wherein R^7 is $(C_1\text{-}C_8)$alkyl; and

Y is



or



wherein:

Q^1 is oxygen, nitrogen or sulfur;

Q^2 is carbon or nitrogen;

Q^3 is hydrogen, $-(CH_2)_q$-phenyl, $-(C_1\text{-}C_{10})$alkyl, $-(CH_2)_q\text{-}NG^1G^2$, $-(CH_2)_q\text{-}CO_2G^3$, $-(CH_2)_q\text{-}CO\text{-}NG^1G^2$, $-(CH_2)_q\text{-}OG^3$, $-(CH_2)_q\text{-}SO_3G^3$, $-(CH_2)_q\text{-}SO_2\text{-}(C_1\text{-}C_6)$alkyl, $-(CH_2)_q\text{-}SO_2NG^1G^2$, or a heterocycle selected from the group consisting of $-(CH_2)_q$-pyridyl, $-(CH_2)_q$-pyrimidyl, $-(CH_2)_q$-pyraziqyl, $-(CH_2)_q$-isoxazolyl, $-(CH_2)_q$-oxazolyl, $-(CH_2)_q$-thiazolyl, $-(CH_2)_q$-(1,2,4-oxadiazolyl), $-(CH_2)_q$-imidazolyl, $-(CH_2)_q$-triazolyl and $-(CH_2)_q$-tetrazolyl;

wherein one of the ring nitrogen atoms of said $-(CH_2)_q$-imidazolyl, $-(CH_2)_q$-triazolyl and $-(CH_2)_q$-tetrazolyl may optionally be substituted by $(C_1\text{-}C_8)$alkyl optionally independently substituted with one or more halo atoms;

wherein each of said heterocycles may optionally be substituted on one or more of the ring carbon atoms by one or more substituents independently selected from the group consisting of $(C_1\text{-}C_8)$alkyl optionally independently substituted with one or more halo atoms, nitro, cyano, $-(CH_2)_q\text{-}NG^1G^2$, $-(CH_2)_q\text{-}CO_2G^3$, $-(CH_2)_q\text{-}CO\text{-}NG^1G^2$, $-(CH_2)_q\text{-}OG^3$, $-(CH_2)_q\text{-}SO_3G^3$, $-(CH_2)_q\text{-}SO_2\text{-}(C_1\text{-}C_6)$alkyl and $-(CH_2)_q\text{-}SO_2NG^1G^2$;

wherein the phenyl moiety of said $-(CH_2)_q$-phenyl may optionally be substituted with one or more substituents independently selected from the group consisting of $(C_1\text{-}C_6)$alkyl optionally independently substituted with one or more halo atoms, hydroxy, $(C_1\text{-}C_6)$alkoxy optionally independently substituted with one or more halo atoms, $(C_1\text{-}C_6)$alkylthio, fluoro, chloro, bromo, iodo, cyano, nitro, $-(CH_2)_q\text{-}NG^1G^2$,

$-(CH_2)_q-CO_2G^3$, $-(CH_2)_q-CO-NG^1G^2$, $-(CH_2)_q-OG^3$, $-(CH_2)_q-SO_3G^3$, $-(CH_2)_q-SO_2-(C_1-C_6)$alkyl, $-(CH_2)_q-SO_2NG^1G^2$; $-(CH_2)_q-NG^3-SO_2-G^3$ and $-(CH_2)_q-NG^3-SO_2-NG^1G^2$;

Q^4 is $-(CH_2)_q-CN$, $-(CH_2)_qCO_2G^3$, $-(CH_2)_q-SO_3G^3$, $-(CH_2)_q-SO_2-(C_1-C_6)$alkyl, $-(CH_2)_q-SO_2NG^1G^2$, $-(CH_2)_qCH_2OH$, $-(CH_2)_q-CHO$, $-(CH_2)_q-CO-G^3$, $-(CH_2)_q-CONG^1G^2$, or a heterocycle selected from $-(CH_2)_q$-thiazolyl, $-(CH_2)_q$-oxazolyl, $-(CH_2)_q$-imidazolyl, $-(CH_2)_q$-triazolyl, $-(CH_2)_q$-1,2,4-oxadiazolyl, $-(CH_2)_q$-isoxazolyl, $-(CH_2)_q$-tetrazolyl and $-(CH_2)_q$-pyrazolyl;

wherein one of the ring nitrogen atoms of said $-(CH_2)_q$-imidazolyl, $-(CH_2)_q$-triazolyl and $-(CH_2)_q$-tetrazolyl may optionally be substituted by (C_1-C_6)alkyl optionally independently substituted with one or more halo atoms;

wherein each of said heterocycles may optionally be substituted on one or more of the ring carbon atoms by one or more substituents independently selected from the group consisting of hydrogen, (C_1-C_6)alkyl optionally independently substituted with one or more halo atoms, $-(CH_2)_q-CO-NG^1G^2$, $-(CH_2)_q-CO_2G^3$, halo, nitro, cyano, $-(CH_2)_q-CO-NG^1G^2$, $-(CH_2)_q-OG^3$, $-(CH_2)_q-SO_3G^3$, $-(CH_2)_q-SO_2-(C_1-C_6)$alkyl, or $-(CH_2)_q-SO_2NG^1G^2$;

Q^5 is hydrogen or (C_1-C_6)alkyl optionally independently substituted with one or more halo atoms;

Q^6 is a covalent bond, oxygen or sulfur;

Q^7 is hydrogen or (C_1-C_6)alkyl optionally independently substituted with one or more halo atoms;

Q^8 and Q^9 are independently a covalent bond, oxygen, sulfur, NH or $N-(C_1-C_6)$alkyl;

Q^{10} is nitro, amino, (C_2-C_9)heteroaryl, (C_2-C_9)heterocycloalkyl, $(CH_2)_pOR^{11}$, $(CH_2)_qCO_2H$, $(CH_2)_qCOR^{13}$, $(CH_2)_qSO_2NR^{11}R^{12}$, $(CH_2)_q-NR^{11}SO_2R^{10}$, $(CH_2)_qP(O)(OR^8)(OR^9)$, $(CH_2)_q-O-(CH_2)_pCO_2H$, $(CH_2)_q-O-(CH_2)_pCOR^{13}$, $(CH_2)_q-O-(CH_2)_pP(O)(OR^8)(OR^9)$, $(CH_2)_q-O-(CH_2)_pSO_2NR^{11}R^{12}$, or $(CH_2)_q-O-(CH_2)_p-NR^{11}SO_2R^{10}$;

R^8 and R^9 are each independently hydrogen or (C_1-C_6)alkyl; and

wherein G^1 and G^2 for each occurrence are each independently hydrogen, (C_1-C_6)alkyl optionally independently substituted with one or more halo, (C_1-C_8)alkoxy(C_1-C_6)alkyl or (C_3-C_8)cycloalkyl, or G^1 and G^2 together with the nitrogen to which they are attached form a saturated heterocyclic ring having from 3 to 7 carbon

atoms wherein one of said carbon atoms may optionally be replaced by oxygen, nitrogen or sulfur;

G^3 for each occurrence is independently hydrogen or $(C_1$-$C_6)$alkyl;

R^{10} for each occurrence is independently $(C_1$-$C_6)$alkyl or $(C_1$-$C_6)$alkoxy$(C_1$-$C_6)$alkyl;

R^{11} and R^{12} are taken separately and, for each occurrence, are independently hydrogen, $(C_1$-$C_6)$alkyl, $(C_3$-$C_8)$cycloalkyl, or $(C_1$-$C_6)$alkoxy$(C_1$-$C_6)$alkyl, or

R^{11} and R^{12} are taken together with the nitrogen atom to which they are attached and form a pyrrolidine, piperidine or morpholine ring wherein said pyrrolidine, piperidine or morpholine may optionally be substituted at any carbon atom by $(C_1$-$C_4)$alkyl or $(C_1$-$C_4)$alkoxy;

R^{13} for each occurrence is independently hydrogen, $(C_1$-$C_6)$alkyl, $(C_1$-$C_6)$alkoxy, $NR^{11}R^{12}$, $(C_3$-$C_8)$cycloalkyl, or $(C_1$-$C_6)$alkoxy$(C_1$-$C_6)$alkyl wherein R^{11} and R^{12} are as defined above;

R^{14} and R^{15} are each independently hydrogen, halo, $(C_1$-$C_6)$alkyl, nitro, cyano, trifluoromethyl, SO_2R^{10}, $SO_2NR^{11}R^{12}$, $NR^{11}R^{12}$, COR^{13}, CO_2R^{11}, $(C_1$-$C_6)$alkoxy, $NR^{11}SO_2R^{10}$, $NR^{11}COR^{13}$, $NR^{11}CO_2R^{11}$ or OR^{11};

p for each occurrence is independently an integer of 1 to 6; and

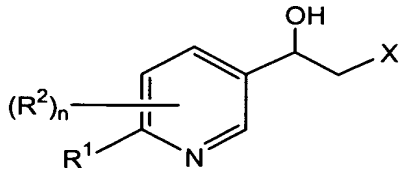
q for each occurrence is independently 0 or an integer of 1 to 6;

with the proviso that when Q^9 is O or S then n is not 0;

with the proviso that when Q^1 is oxygen or sulfur then Q^3 is absent; and

with the proviso that when Q^2 is nitrogen then Q^5 is absent;

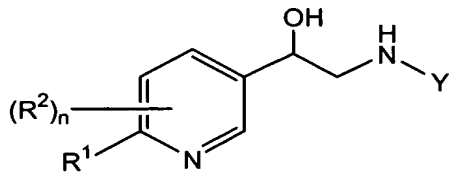
comprising (a) reacting the compound of a formula



VIII

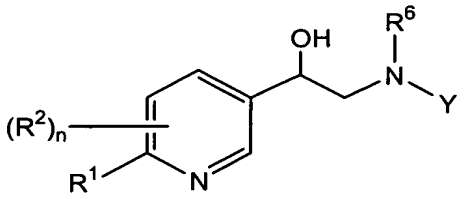
wherein R^1 is hydrogen or halo, and n, R^1, R^2, R^3 and X are as defined above, with an amine of the formula H_2NY, wherein Y is as defined above, in the presence of N,N-diisopropylethylamine;

(b) reacting the compound of the formula VII so formed



VII

wherein R^1 is hydrogen or halo, and wherein n, R^2 and Y are as defined above with an organic acid anhydride, a dicarbonate or an organic acid chloride to form a compound of the formula

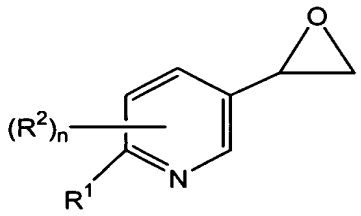


VI

wherein n, R^1, R^2, R^6 and Y are as defined above and

(c) reacting the compound of formula VI, wherein R^1 is halo, so formed with ammonium formate in the presence of palladium-on-carbon.

29. A process for preparing a compound of the formula



XX

wherein n is 0, 1, 2 or 3;

R^1 is hydrogen or halo;

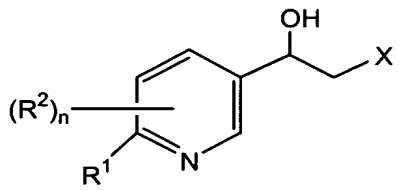
each R^2 is independently hydrogen, halo, trifluoromethyl, cyano, SR^4, OR^4, SO_2R^4, $OCOR^5$, or $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, $N(R^4)_2$, SR^4, trifluoromethyl, OR^4, $(C_3\text{-}C_8)$cycloalkyl, $(C_6\text{-}C_{10})$aryl, NR^4COR^5, COR^5, SO_2R^5, $OCOR^5$, $NR^4SO_2R^5$ and $NR^4CO_2R^4$;

R^4 and R^5, for each occurrence, are each independently selected from hydrogen, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy, $(C_3\text{-}C_8)$cycloalkyl,$(C_6\text{-}C_{10})$aryl, $(C_2\text{-}$

C_9)heterocycloalkyl, (C_2-C_9)heteroaryl or (C_1-C_6)aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, (C_1-C_{10})alkyl-CO_2, (C_1-C_{10})alkylsulfonyl, (C_3-C_8)cycloalkyl, (C_1-C_{10})alkoxy, or (C_1-C_6)alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, ((C_1-C_6)alkyl)$_2$amino, pyrrolidine, piperidine, (C_1-C_{10})alkyl, (C_1-C_{10})alkoxy, (C_1-C_{10})alkylthio and (C_1-C_{10})alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, (C_1-C_6)alkyl-CO_2, (C_1-C_6)alkylsulfonyl, (C_3-C_8)cycloalkyl and (C_1-C_6)alkoxy;

or R^5 is $N(R^4)_2$ wherein R^4 is as defined above;

comprising reacting the compound of the formula

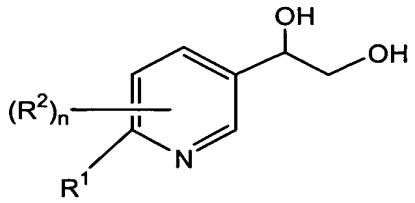


X

wherein n, R^1, R^2 and X are as defined above, with a non-nucleophilic base.

30. A process according to claim 29, wherein the non-nucleophilic base is sodium hydroxide, potassium hydroxide, sodium hydride, potassium tert-butoxide or 1,8-diazabicyclo[5.4.0]undec-7-ene.

31. A compound of the formula



XI

wherein n is 0, 1, 2 or 3;

R^1 is hydrogen or halo;

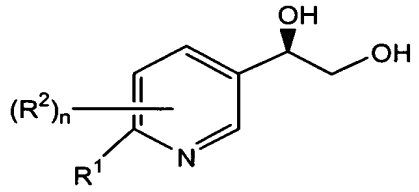
each R^2 is independently hydrogen, halo, trifluoromethyl, cyano, SR^4, OR^4,

SO_2R^4, $OCOR^5$, or $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, $N(R^4)_2$, SR^4, trifluoromethyl, OR^4, $(C_3\text{-}C_8)$cycloalkyl, $(C_6\text{-}C_{10})$aryl, NR^4COR^5, COR^5, SO_2R^5, $OCOR^5$, $NR^4SO_2R^5$ and $NR^4CO_2R^4$;

R^4 and R^5, for each occurrence, are each independently selected from hydrogen, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy, $(C_3\text{-}C_8)$cycloalkyl,$(C_6\text{-}C_{10})$aryl, $(C_2\text{-}C_9)$heterocycloalkyl, $(C_2\text{-}C_9)$heteroaryl or $(C_1\text{-}C_6)$aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, $(C_1\text{-}C_{10})$alkyl-CO_2, $(C_1\text{-}C_{10})$alkylsulfonyl, $(C_3\text{-}C_8)$cycloalkyl, $(C_1\text{-}C_{10})$alkoxy, or $(C_1\text{-}C_6)$alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, $((C_1\text{-}C_6)$alkyl$)_2$amino, pyrrolidine, piperidine, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy, $(C_1\text{-}C_{10})$alkylthio and $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, $(C_1\text{-}C_6)$alkyl-CO_2, $(C_1\text{-}C_6)$alkylsulfonyl, $(C_3\text{-}C_8)$cycloalkyl and $(C_1\text{-}C_6)$alkoxy;

or R^5 is $N(R^4)_2$ wherein R^4 is as defined above.

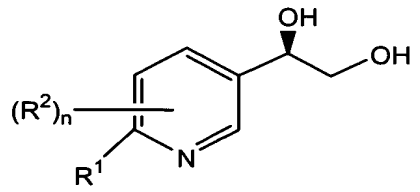
32. A compound according to claim 31, wherein the compound of formula XI is the R enantiomer



XI

wherein R^1 is chloro and R^2 is hydrogen.

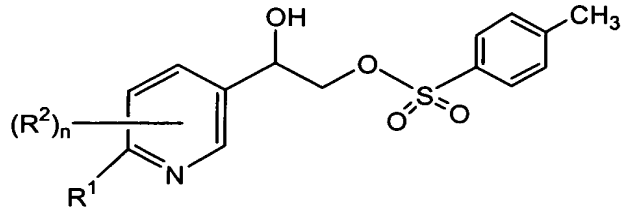
33. A compound according to claim 31, wherein the compound of formula XI is the R enantiomer



XI

wherein R^1 and R^2 are hydrogen.

34. A compound of the formula



XV

wherein n is 0, 1, 2 or 3;

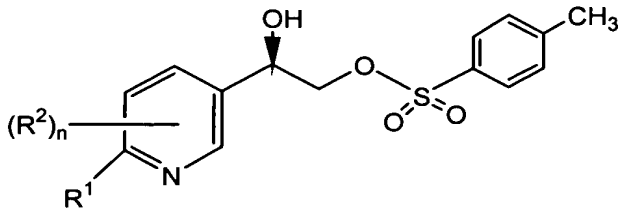
R^1 is hydrogen or halo;

each R^2 is independently hydrogen, halo, trifluoromethyl, cyano, SR^4, OR^4, SO_2R^4, $OCOR^5$, or $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, $N(R^4)_2$, SR^4, trifluoromethyl, OR^4, $(C_3\text{-}C_8)$cycloalkyl, $(C_6\text{-}C_{10})$aryl, NR^4COR^5, COR^5, SO_2R^5, $OCOR^5$, $NR^4SO_2R^5$ and $NR^4CO_2R^4$;

R^4 and R^5, for each occurrence, are each independently selected from hydrogen, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy, $(C_3\text{-}C_8)$cycloalkyl,$(C_6\text{-}C_{10})$aryl, $(C_2\text{-}C_9)$heterocycloalkyl, $(C_2\text{-}C_9)$heteroaryl or $(C_1\text{-}C_6)$aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, $(C_1\text{-}C_{10})$alkyl-CO_2, $(C_1\text{-}C_{10})$alkylsulfonyl, $(C_3\text{-}C_8)$cycloalkyl, $(C_1\text{-}C_{10})$alkoxy, or $(C_1\text{-}C_6)$alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, $((C_1\text{-}C_6)\text{alkyl})_2$amino, pyrrolidine, piperidine, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy, $(C_1\text{-}C_{10})$alkylthio and $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, $(C_1\text{-}C_6)$alkyl-CO_2, $(C_1\text{-}C_6)$alkylsulfonyl, $(C_3\text{-}C_8)$cycloalkyl and $(C_1\text{-}C_6)$alkoxy;

or R^5 is $N(R^4)_2$ wherein R^4 is as defined above.

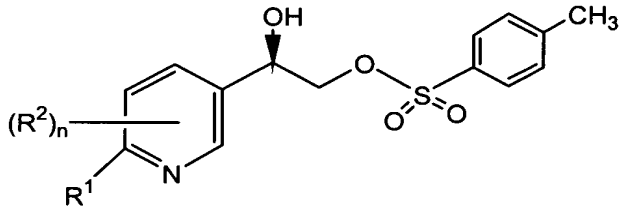
35. A compound according to claim 34, wherein the compound of formula XI is the R enantiomer



XV

wherein R^1 is chloro and R^2 is hydrogen.

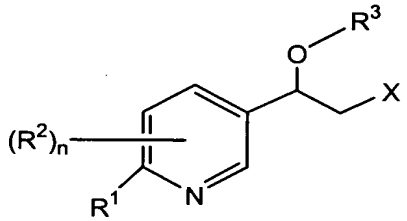
36. A compound according to claim 34, wherein the compound of formula XI is the R enantiomer



XV

wherein R^1 and R^2 are hydrogen.

37. A compound of the formula



IX

wherein n is 0, 1, 2 or 3;

R^1 is hydrogen or halo;

each R^2 is independently hydrogen, halo, trifluoromethyl, cyano, SR^4, OR^4, SO_2R^4, $OCOR^5$, or $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, $N(R^4)_2$, SR^4, trifluoromethyl, OR^4, $(C_3\text{-}C_8)$cycloalkyl, $(C_6\text{-}C_{10})$aryl, NR^4COR^5, COR^5, SO_2R^5, $OCOR^5$, $NR^4SO_2R^5$ and $NR^4CO_2R^4$;

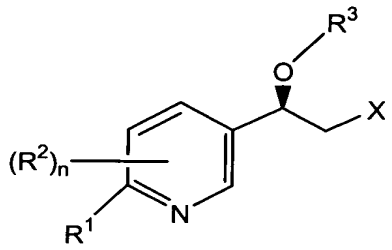
R^3 is tetrahydrofuranyl, tetrahydropyranyl or a silyl protetcting group;

X is halo, methanesulfonyloxy, benzenesulfonyloxy, p-toluenesulfonyloxy, m-nitrobenzenesulfonyloxy or p-nitrobenzenexulfonyloxy;

R^4 and R^5, for each occurrence, are each independently selected from hydrogen, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy,$(C_3\text{-}C_8)$cycloalkyl,$(C_6\text{-}C_{10})$aryl, $(C_2\text{-}C_9)$heterocycloalkyl, $(C_2\text{-}C_9)$heteroaryl or $(C_1\text{-}C_6)$aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, $(C_1\text{-}C_{10})$alkyl-CO_2, $(C_1\text{-}C_{10})$alkylsulfonyl, $(C_3\text{-}C_8)$cycloalkyl, $(C_1\text{-}C_{10})$alkoxy, or $(C_1\text{-}C_6)$alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, $((C_1\text{-}C_6)\text{alkyl})_2$amino, pyrrolidine, piperidine, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy, $(C_1\text{-}C_{10})$alkylthio and $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, $(C_1\text{-}C_6)$alkyl-CO_2, $(C_1\text{-}C_6)$alkylsulfonyl, $(C_3\text{-}C_8)$cycloalkyl and $(C_1\text{-}C_6)$alkoxy;

or R^5 is $N(R^4)_2$ wherein R^4 is as defined above.

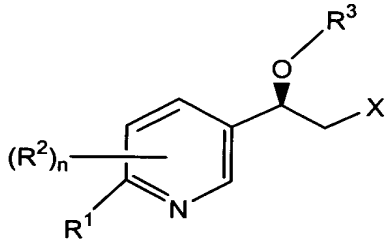
38. A compound according to claim 37, wherein the compound of formula IX is the R enantiomer



IX

wherein R^1 is chloro; R^2 is hydrogen; R^3 is tert-butyldimethylsilyl; and X is p-toluenesulfonyloxy.

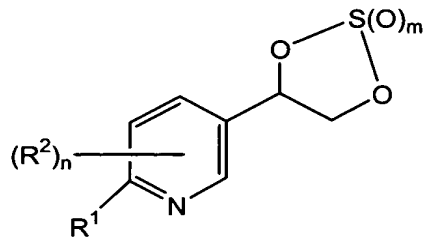
39. A compound according to claim 37, wherein the compound of formula IX is the R enantiomer



IX

wherein R^1 and R^2 are hydrogen.

40. A compound of the formula



XVII

wherein n is 0, 1, 2 or 3;

m is 1 or 2;

R^1 is hydrogen or halo;

each R^2 is independently hydrogen, nitro, halo, trifluoromethyl, cyano, SR^4, OR^4, SO_2R^4, $OCOR^5$, or $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by hydroxy, halo, cyano, $N(R^4)_2$, SR^4, trifluoromethyl, OR^4, $(C_3\text{-}C_8)$cycloalkyl, $(C_6\text{-}C_{10})$aryl, NR^4COR^5, COR^5, SO_2R^5, $OCOR^5$, $NR^4SO_2R^5$ and $NR^4CO_2R^4$;

R^4 and R^5, for each occurrence, are each independently selected from hydrogen, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy, $(C_3\text{-}C_8)$cycloalkyl,$(C_6\text{-}C_{10})$aryl, $(C_2\text{-}C_9)$heterocycloalkyl, $(C_2\text{-}C_9)$heteroaryl or $(C_1\text{-}C_6)$aryl wherein the alkyl group is optionally substituted by the group consisting of hydroxy, halo, carboxy, $(C_1\text{-}C_{10})$alkyl-CO_2, $(C_1\text{-}C_{10})$alkylsulfonyl, $(C_3\text{-}C_8)$cycloalkyl, $(C_1\text{-}C_{10})$alkoxy, or $(C_1\text{-}C_6)$alkyl; and wherein the aryl, heterocycloalkyl and heteroaryl groups are optionally substituted by one to four groups consisting of halo, nitro, oxo, $((C_1\text{-}C_6)\text{alkyl})_2$amino, pyrrolidine, piperidine, $(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy, $(C_1\text{-}C_{10})$alkylthio and $(C_1\text{-}C_{10})$alkyl wherein the alkyl group is optionally substituted by one to four groups selected from hydroxy, halo, carboxy, $(C_1\text{-}C_6)$alkyl-CO_2, $(C_1\text{-}C_6)$alkylsulfonyl, $(C_3\text{-}C_8)$cycloalkyl and $(C_1\text{-}C_6)$alkoxy;

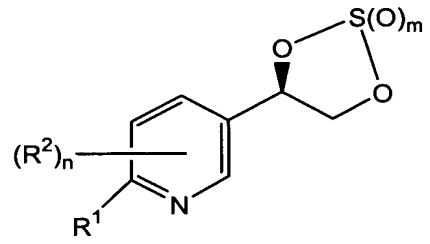
or R^5 is $N(R^4)_2$ wherein R^4 is as defined above.

41. A compound according to claim 40, wherein m is 2, R^1 is chloro, and R^2 is hydrogen.

42. A compound according to claim 40, wherein m is 2 and R^2 and R^3 are

hydrogen.

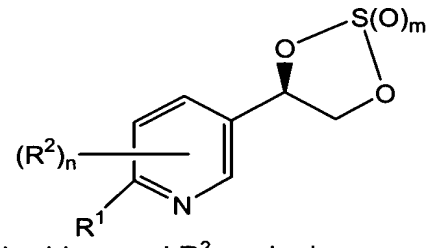
43. A compound according to claim 40, wherein the compound of formula XVII is the R enantiomer



XVII

wherein m is 2 and R^1 and R^2 are hydrogen.

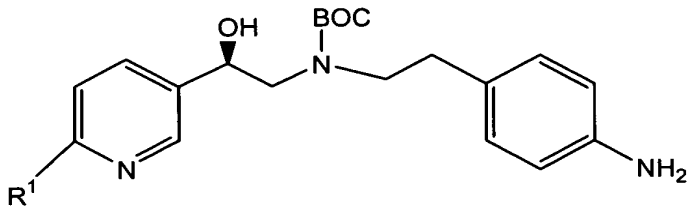
44. A compound according to claim 40, wherein the compound of formula XVII is the R enantiomer



XVII

wherein m is 2, R^1 is chloro and R^2 are hydrogen.

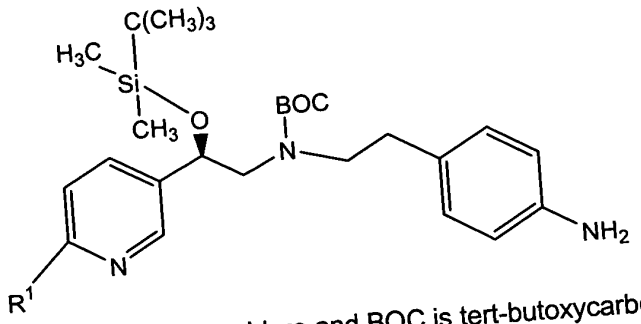
45. A compound of the formula



XVIII

wherein R^1 is hydrogen or chloro and BOC is tert-butoxycarbonyl.

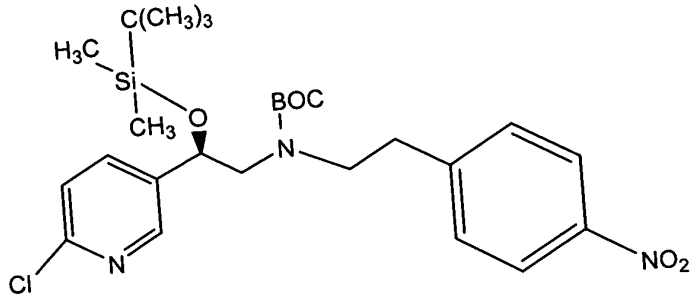
46. A compound of the formula



XIX

wherein R^1 is hydrogen or chloro and BOC is tert-butoxycarbonyl.

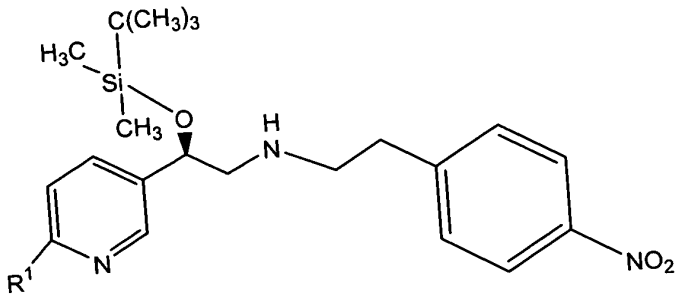
47. A compound of the formula



XXI

wherein BOC is tert-butoxycarbonyl.

48. A compound of the formula



XXII

wherein R^1 is hydrogen or halo.